

SOLID-PHASE SYNTHESIS OF CODEINE FROM MORPHINE; LOADING MORPHINE
ONTO METHYLATION RESIN COMPRISING METHYL(DIALKYL OR DIARYL)ANILINIUM
SALTS COVALENTLY BONDED TO THE RESIN; CONTACTING THE LOADED RESIN WITH
HYDROCARBON OR ETHER SOLVENT TO COVER THE LOADED RESIN; HEATING

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Abstract:

The specification describes a methylation resin comprising methyl(dialkyl)anilinium salts or methyl(diaryl)anilinium salts covalently bonded to the resin. The methylation resin is used in the solid-phase synthesis of codeine from morphine. Accordingly, the specification describes a process for methylating morphine to form codeine by loading morphine onto a methylation resin comprising methyl(dialkyl)anilinium salts or methyl(diaryl) anilinium salts covalently bonded to the resin; contacting the loaded resin with sufficient hydrocarbon or ether solvent to cover the loaded resin; and heating the loaded resin in the hydrocarbon or ether solvent under sufficient conditions to form codeine. The methylating resin may be used to methylate phenolic moieties on other compounds and to esterify compounds containing carboxylic acid moieties.

Exemplary Claim:

D R A W I N G

1. A process for methylating morphine to form codeine, comprising:
loading morphine onto a methylation resin comprising
methyl(dialkyl)anilinium salts or methyl(diaryl)anilinium salts
covalently bonded to the resin; contacting the loaded resin with
sufficient hydrocarbon or ether solvent to cover the loaded resin; and
heating the loaded resin in the hydrocarbon or ether solvent under

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